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135 135 91. (New) A method for inhibiting β -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of β -amyloid peptide wherein said compounds are represented by formula IA:

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted aryl, optionally substituted heterocyclic;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, optionally substituted aryl or optionally substituted heteroaryl group; X' is hydrogen, hydroxy or fluoro; X" is hydrogen, hydroxy or fluoro, or X' and X" together form an oxo group;

 R^2 is selected from the group consisting of alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkynyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, 2-aminopryrid-6-yl, 2-methylcyclopentyl, cyclohex-2-enyl and -(CH₂)₄NHC(O)OC(CH₃)₃;

W, together with $-C(H)_pC(=X)$ -, forms a cycloalkyl, cycloalkenyl, optionally substituted heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, optionally substituted heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of

cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ting structures is optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R⁴, -NHSO₂R⁴, -C(O)NH₂, -C(O)NHR⁴, -C(O)NR⁴R⁴, -S(O)₂R⁴, -S(O)₂R⁴, -S(O)₂NR⁴R⁴ where each R⁴ is independently selected from the group consisting of alkyl, substituted alkyl, or optionally substituted aryl;

X is selected from the group consisting of =O; =S; -H, -OH; H,-SH; and H,H; p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and -C(H) $_p$ C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof; with the following provisos:

- A. when R^1 is 3,5 diffuorophenyl, R^2 is -CH₃, Z' is -CH₂-, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, p is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is is 1, then W, together with >CH and >C=X, does not form an N^2 -methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is -CH₃, Z' is -CH₂-, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

- H. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z' is -CH(OH)-, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by W and $-C(H)_pC(=X)$ forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.
- 92. (New) A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted aryl, optionally substituted heterocyclic;

Z' is represented by the formula -CX'X''-, $-T-CH_2$ - or -T-C(O)- where T is selected from the group consisting oxygen, sulfur, $-NR^5$ where R^5 is hydrogen, acyl, alkyl, optionally substituted aryl or optionally substituted heteroaryl group; X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

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R²\is selected from the group consisting of alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted alkynyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, 2-aminopryrid-6-yl, 2-methylcyclopentyl, cyclohex-2-enyl and -(CH₂)₄NHC(O)OC(CH₃)₃;

W, together with -C(H)_pC(=X)-, forms a cycloalkyl, cycloalkenyl, optionally substituted heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, optionally substituted heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-alkylamino, N,N-disubstituted alkylamino, N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R⁴, -NHSO₂R⁴, -C(O)NH₂, -C(O)NHR⁴, -C(O)NR⁴R⁴, -S(O)₂R⁴, -S(O)₂R⁴, -S(O)₂NR⁴R⁴ where each R⁴ is independently selected from the group consisting of alkyl, substituted alkyl, or optionally substituted aryl;

X is selected from the group consisting of =O; =S; -H, -OH; H,-SH; and H,H; p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and -C(H) $_p$ C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

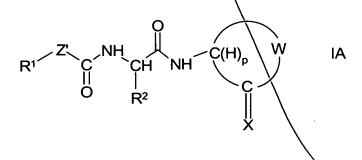
and pharmaceutically acceptable salts thereof; with the following provisos:

- A. when R^1 is 3,5-difluorophenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indapol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, p is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is is 1, then W, together with >C=X, does not form an N-methylcaprolactam group;

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when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

- E. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >C=X, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$ -, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- H. when R^1 is 2,6-difluor ophenyl, R^2 is -CH₃, Z' is -CH(OH)-, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by W and $-C(H)_pC(=X)$ forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.
- 93. (New) A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



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wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted aryl, optionally substituted heterocyclic;

Z' is represented by the formula -CX'X''-, $-T-CH_2$ - or -T-C(O)- where T is selected from the group consisting oxygen, sulfur, $-NR^5$ where R^5 is hydrogen, acyl, alkyl, optionally substituted aryl or optionally substituted heteroaryl group; X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R² is selected from the group consisting of alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkynyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, 2-aminopryrid-6-yl, 2-methylcyclopentyl, cyclohex, 2-enyl and -(CH₂)₄NHC(O)OC(CH₃)₃;

W, together with -C(H)_RC(=X)-, forms a cycloalkyl, cycloalkenyl, optionally substituted heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, optionally substituted heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkenyl, substituted alkylamino, N-alkylamino, N-odialkylamino, N-odialkylamin

X is selected from the group consisting of =0; =S; -H, -OH; H,-SH; and H,H; p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and

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-C(H), C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof; with the following provisos:

- A. when R^1 is 3,5-difluorophenyl, R^2 is -CH₃, Z' is -CH₂-, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, p is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2 phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(t-butylC(O)CH $_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH₃OC(O)CH₂-, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH₃S-, R^2 is -CH₃-, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- H. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z' is -CH(OH)-, and p is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by W and -C(H)_pC(=X)- forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

94. (New) The pharmaceutical composition according to Claims 91, 92 or 93 wherein the cyclic groups defined by W and $-C(H)_pC(=X)$ - is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, optionally substituted heterocyclic and cycloalkyl groups.

95. (New) A method for inhibiting β -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of β -amyloid peptide wherein said compounds are represented by formula IB:

wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted aryl, optionally substituted heterocyclic;

Z' is represented by the formula -CX'X''-, $-T-CH_2$ - or -T-C(O)- where T is selected from the group consisting oxygen, sulfur, $-NR^5$ where R^5 is hydrogen, acyl, alkyl, optionally substituted aryl or optionally substituted heteroaryl group; X' is hydrogen, hydroxy or fluoro; X' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R² is selected from the group consisting of alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkynyl, cycloalkyl, optionally substituted aryl, optionally substituted heterocyclic, 2-aminopryrid-6-yl, 2-methylcyclopentyl, cyclohex-2-enyl and -(CH₂)₄NHC(O)OC(CH₃)₃;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

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wherein T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and $-Z^aR^{21}$ - where Z^a is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently

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selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R²¹ is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, Q is an integer of from 1 to 3;

Xa is oxo or thioxo; Xb is -OH or -SH;

A-B is selected from a group of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; R^c is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, cycloalkyl, and substituted cycloalkyl;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

- A. when R^1 is 3,5-diffuorophenyl, R^2 is -CH₂-, and p is 1, then the group defined by Q, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 \is - CH_3 , Z' is - CH_2 -, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

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when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

- G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2$ -, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- H. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z' is -CH(OH)-, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.
- 96. (New) A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IB:

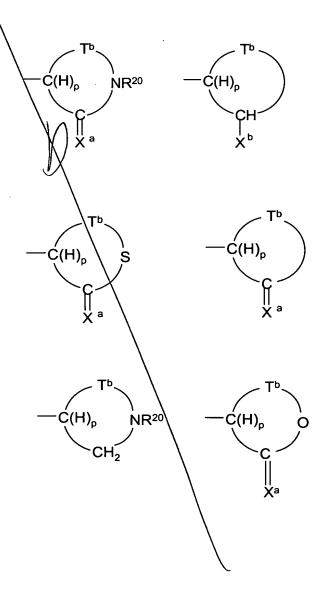
wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted aryl, optionally substituted heterocyclic;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting oxygen, sulfur, -NR⁵ where R⁵ is hydrogen, acyl, alkyl, optionally

substituted aryl or optionally substituted heteroaryl group; X' is hydrogen, hydroxy or fluoro; X" is hydrogen, hydroxy or fluoro, or X' and X" together form an oxo group;

R² is selected from the group consisting of alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted alkynyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, 2-aminopryrid-6-yl, 2-methylcyclopentyl, cyclohex-2-enyl and -(CH₂)₄NHC(O)OC(CH₃)₃;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:



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wherein T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and $-Z^aR^{21}$ - where Z^a is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R^{21} is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, q is an integer of from 1 to 3;

 X^a is oxo or thioxo; X^b is -OH or SH;

A-B is selected from a group of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; R^c is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, optionally substituted aryl, optionally substituted heterocyclic, cycloalkyl, and substituted cycloalkyl;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof; with the following provisos:

A. when R^1 is 3,5-difluorophenyl, R^2 is -CH₃,Z' is -CH₂-, and p is 1, then the group defined by Q, does not form a 2-(S)-indanol group;

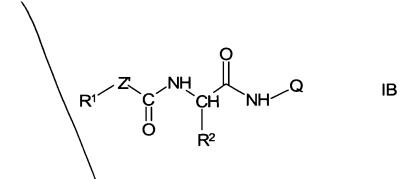
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when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;

- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_{2^-} , R^2 is $-CH_3$, Z' is $-CH_{2^-}$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(t-butylC(O)CH $_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G: when R¹ is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH₃OC(O)CH₂-, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH₃S-, R² is -CH₃, Z' is -CH₂-, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- H. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z' is -CH(OH)-, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.
- 97. (New) A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IB:

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wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting oxygen, swiffur, -NR5 where R5 is hydrogen, acyl, alkyl, optionally substituted aryl or optionally substituted heteroaryl group; X' is hydrogen, hydroxy or fluoro; X" is hydrogen, hydroxy or fluoro, of X' and X" together form an oxo group;

R² is selected from the group consisting of alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted alkynyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclic, 2-aminopryrid-6-yl, 2-methylcyclopentyl, cyclohex-2-enyl and -(CH₂)₄NHC(O)OC(CH₃)₃;

O is selected from the group of monocyclic and fused polycyclic groups having the formulas:

$$-C(H)_{p} NR^{20} -C(H)_{p}$$

$$CH$$

$$X^{b}$$

Ć(H)_р NR²⁰ Ć(H)_p NR20R20

wherein T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ and $-Z^aR^{21}$ where Z^a is a substituent selected from the group consisting of -O-, -S- and $>NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R^{21} is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any

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unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, q is an integer of from 1 to 3;

X^a is oxo or thioxo; X^b is -OH or -SH;

A-B is selected from a group of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; R^c is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, optionally substituted aryl, optionally substituted heterocyclic, cycloalkyl, and substituted cycloalkyl;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

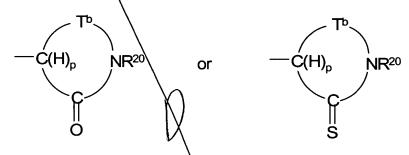
with the following provisos:

- A. when R^1 is 3,5-diffuorophenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2 -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $CH_3OC(O)CH_2$ -, R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(t-butylC(O)CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when R¹ is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH₃OC(O)CH₂-, 4-HOCH₂-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl,

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or CH_3S_- , R^2 is $-CH_3$, Z' is $-CH_2$ -, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2 -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

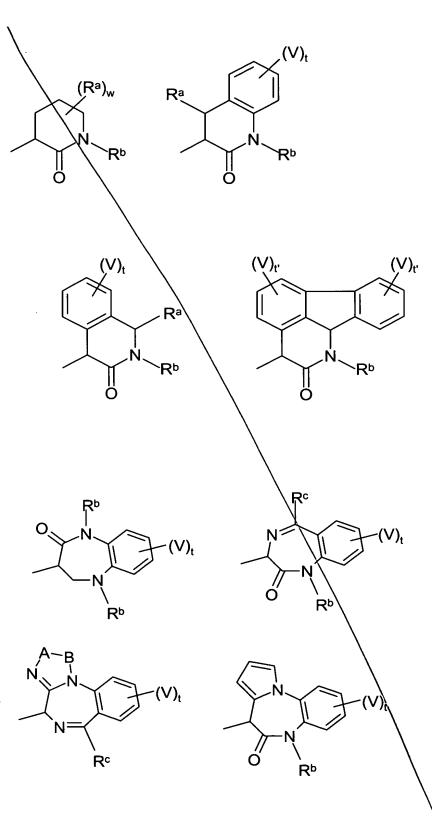
- H. when R^1 is 2,6-difluorophenyl, R^2 is -CH₃, Z' is -CH(OH)-, and p is 1, then the group defined by Q does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.
- 98. (New) The method according to Claims 95, 96 or 97 wherein Q is a lactam or thiolactam ring of the formula:



wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and Z^aR^{21} - where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R²¹ is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

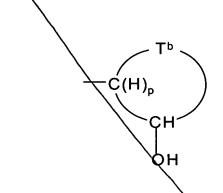
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$$O \bigvee_{N}^{R^b} (V)_t$$

wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, optionally substituted alkaryl, optionally substituted aryl, optionally substituted aryl, carboxylalkyl, cyano, halo, nitro, optionally substituted heteroaryl, thioalkoxy, substituted thioalkoxy and trihalomethyl; R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano and halo; R^b is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkynyl, acyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic; R^c is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heteroaryl, optionally substituted heterocyclic, cycloalkyl, and substituted cycloalkyl; t is an integer from 0 to 4; t is an integer from 0 to 3; and w is an integer from 0 to 3.

100. (New) The method according to Claims 95, 96 or 97 wherein Q is a monocyclic or fused polycyclic ring having the formula:



α —C(H)_p CH

wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ and $-Z^aR^{21}$ where Z^a is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

101. (New) The method according to Claim 100 wherein Q is selected from the group consisting of:

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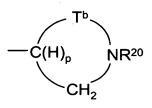
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 $(V)_{t}$ $(R^{a})_{w}$ $(V)_{t}$ $(R^{a})_{w}$ $(V)_{t}$ $(R^{a})_{w}$ $(V)_{t}$ $(R^{a})_{w}$ $(V)_{t}$ $(R^{a})_{w}$ $(V)_{t}$

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkynyl, amino, aminoacyl, optionally substituted alkaryl, optionally substituted aryl, optionally substituted aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, optionally substituted heteroaryl, thioalkoxy, substituted thioalkoxy and trihalomethyl; R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano and halo; t is an integer from 0 to 4; and w is an integer from 0 to 3.

102. (New) The method according to Claims 95, 96 or 97 wherein Q is a group having the formula:

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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and $-Z^aR^{21}$ - where Z^a is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

103. (New) The method according to Claims 95, 96 or 97 wherein Q is a group having the formula:

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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by O is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^{a})_{a}R^{21}$ and $-Z^{a}R^{21}$ where Z^{a} is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and δp tionally substituted heterocyclic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the Q- or -S-, and q is an integer of from 1 to 3.

104. (New) The method according to Claim 103 wherein Q is selected from the group having the formula:

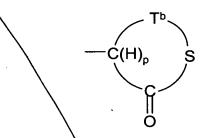
wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, optionally substituted alkaryl, optionally substituted aryl, optionally substituted aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, optionally substituted heteroaryl, thioalkoxy, substituted thioalkoxy and trihalomethyl;

Ra is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano and halo;

t is an integer from 0 to 4; and w is an integer from 0 to 3.

105. (New) The method according to Claims 95, 96 or 97 wherein Q is selected from the group having the formula:

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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

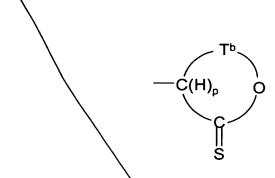
T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and $-Z^aR^{21}$ - where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R²¹ is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

106. (New) The method according to Claims 95, 96 or 97 wherein Q has the formula:

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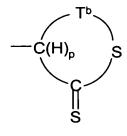
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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and $-Z^aR^{21}$ - where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R²¹ is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

107. (New) The method according to Claims 95, 96 or 97 wherein Q has the formula:

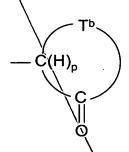


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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, -(R²¹Z^a)₀R²¹- and -Z^aR²¹- where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R21 is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -Q- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

108. (New) The method according to Claims 95, 96 or 97 wherein Q has the formula:



wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^{2})_{a}R^{21}$ and $-Z^{2}R^{21}$ where Z^{2} is a substituent selected from the group consisting of -O-, -S- and $> NR^{20}$, each R^{20} is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, ال ک 13

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substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocylic, each R^{21} is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

109. (New) The method according to Claim 108 wherein Q is selected from the group having the formula:

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(V)_{t}$$

$$(R^{a})_{w}$$

$$(R^{a})_{w}$$

wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkynyl, amino, aminoacyl, optionally substituted alkaryl, optionally substituted aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, optionally substituted heteroaryl, thioalkoxy, substituted thioalkoxy and trihalomethyl;

R^a is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano and halo;

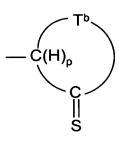
t is an integer from 0 to 4; and w is an integer from 0 to 3.

110. (New) The method according to Claims 95, 96 or 97 wherein Q has the formula:

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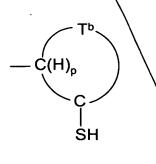
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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, $-(R^{21}Z^a)_qR^{21}$ - and $-Z^aR^{21}$ - where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R²¹ is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

111. (New) The method according to Claims 95, 96 or 97 wherein Q has the formula:



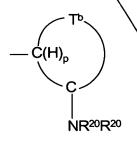
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wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by O is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, - $(R^{21}Z^a)_qR^{21}$ - and - Z^aR^{21} - where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroary and optionally substituted heterocyclic, each R21 is independently alkylene, substituted alkenylene and substituted alkenylene with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

112. (New) The method according to Claims 95, 96 or 97 wherein Q has the formula:



wherein p is an integer equal to 0 or 1 such that when p is zero, the ring defined by O is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

T^b is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, -(R²¹Z^a)₀R²¹- and -Z^aR²¹- where Z^a is a substituent selected from the group consisting of -O-, -S- and > NR²⁰, each R²⁰ is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl,

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substituted alkyl, substituted alkenyl, substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocyclic, each R21 is independently alkylène, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z^a is O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

- 113. (New) The method according to any of Claims 91-93 or 95-97 wherein R¹ is selected from the group consisting of unsubstituted aryls and mono-, di- and tri-substituted phenyl groups.
- 114. (New) The method according to any of Claims 91-93 or 95-97 wherein R¹ is selected from the group consisting of:

phenyl, 1-naphthyl, 2-naphthyl, 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl,

2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl,

2-trifluoromethylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-nitrophenyl,

4-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 4-ethoxyphenyl, 4-butoxyphenyl, 4-iso-propylphenyl, 4-phenoxyphenyl, 4-trifluoromethylphenyl, 4-hydroxymethylphenyl,

3-methoxyphenyl, 3-hydroxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl,

3-bromophenyl, 3-phenoxyphenyl, 3-thiomethoxyphenyl, 3-methylphenyl,

3-trifluoromethylphenyl, 2,3-dichlorophenyl, 2,3-difluorophenyl, 2,4-dichlorophenyl,

2,5-dimethoxyphenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-methylenedioxyphenyl,

3,4-dimethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 3,5-di-trifluoromethyl)phenyl,

3,5-dimethoxyphenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl,

3,4,5-trifluorophenyl, 3,4,5-trimethoxyphenyl, 3,4,5-tri-(trifluoròmethyl)phenyl,

2,4,6-trifluorophenyl, 2,4,6-trimethylphenyl, 2,4,6-tri-(trifluoromethyl)phenyl,

2,3,5-trifluorophenyl, 2,4,5-trifluorophenyl, 2,5-difluorophenyl,

2-fluoro-3-trifluoromethylphenyl, 4-fluoro-2-trifluoromethylphenyl,

2-fluoro-4-trifluoromethylphenyl, 4-benzyloxyphenyl, 2-chloro-6-fluorophenyl,

2-fluoro-6-chlorophenyl, 2,3,4,5,6-pentafluorophenyl, 2,5-dimethylphenyl,

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4-phenylphenyl, 2-fluoro-3-trifluoromethylphenyl, adamantyl, benzyl, 2-phenylethyl, 3-phenyl-*n*-propyl, 4-phenyl-*n*-butyl, methyl, ethyl, *n*-propyl, *iso*-propyl, *iso*-butyl, *sec*-butyl, tert-butyl\n-pentyl, iso-valeryl, n-hexyl, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclopent-1\enyl, cyclopent-2-enyl, cyclohex-1-enyl, -CH₂-cyclopropyl, -CH₂-cyclobutyl, -CH₂-cyclohexyl, -CH₂-cyclopentyl, -CH₂CH₂-cyclopropyl, -CH₂CH₂-cyclobutyl, -CH₂CH₂-cyclohexyl, -CH₂CH₂-cyclopentyl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls, chloropyridyls, thien-2-yl, thien-3-yl, benzothiazol-4-yl, 2-phenylbenzoxazol 5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl, thionaphthen-3-yl, thionaphthen-4-yl, 2-hlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-(thiophenyl)thien-5-yl, 6-methoxythionaphthen\2-yl, 3-phenyl-1,2,4-thiooxadiazol-5-yl, 2-phenyloxazol-4-yl, indol-3-yl, 1-phenyl-tetraòl-5-yl, allyl, 2-(cyclohexyl)ethyl, $(CH_3)_2C=CCH_2CH_2CH(CH_3)_2$, $\varphi C(O)CH_2$, thien-2-yl-methyl, 2-(thien-2-yl)ethyl, 3-(thien-2-yl)-n-propyl, 2-(4-nitrophenyl)ethyl, 2-(4-methoxyphenyl)ethyl, norboran-2-yl, (4-methoxyphenyl)methyl, (2-methoxyphenyl)methyl, (3-methoxyphenyl)methyl, (3-hydroxyphenyl)methyl, (4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl, (4-methylphenyl)methyl, (4-fluorophenoxy)methyl, (2,4-dichlorophenoxy)ethyl, (4-chlorophenyl)methyl, (2-chlorophenyl)methyl, (1-phenyl)ethyl, (1-(p-chlorophenyl)ethyl, (1-trifluoromethyl)ethyl, (4-methoxyphenyl)ethyl, CH₂OC(O)CH₂-, benzylthiomethyl, 5-(methoxycarbonyl)-n-pentyl, 3-(methoxycarbonyl)-*n*-propyl, indan-2-yl, (2-methylbenzofuran-3-yl), methoxymethyl, CH₃CH=CH-, CH₃CH=CH-, (4-chlorophenyl)C(O)CH₂-, (4-fluorophenyl)C(O)CH₂-, (4-methoxyphenyl)C(O)CH₂-, 4-(fluorophenyl)₇NHC(O)CH₂-, 1-phenyl-*n*-butyl, $(\phi)_2$ CHNHC(O)CH₂CH₂-, (CH₃)₂NC(O)CH₂-, $(\phi)_2$ CHNHC(O)CH₂CH₂-, methylcarbonylmethyl, (2,4-dimethylphenyl)C(O)CH₂-, 4-methoxyphenyl-C(O)CH₂-, phenyl-C(O)CH₂-, CH₃C(O)N(φ)-, ethenyl, methylthiomethyl, (CH₃)₃CNHC(O)CH₂-, 4-fluorophenyl-C(O)CH₂-, diphenylmethyl, phenoxymethyl, 3,4-methylenedioxyphenyl-CH₂-, benzo[b]thiophen-3-yl,\(CH₃)₃COC(O)NHCH₂-, trans-styryl, H₂NC(O)CH₂CH₂-, 2-trifluoromethylphenyl-Č(O)CH₂, φC(O)NHCH(φ)CH₂-, mesityl, $CH_3C(=NOH)CH_2$ -, $4-CH_3-\phi-NHC(O)CH_2CH_2$ -, $\phi COCH(\phi)CH_2$ -, $(CH_3)_2CHC(O)NHCH(\phi)_2$, $CH_3CH_2OCH_2_2$, $CH_3OC(O)CH(CH_3)(CH_2)_3_2$, 2,2,2-trifluoroethyl,

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1-(trifluoromethyl)ethyl, 2-CH₃-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl, ϕ SO₂CH₂-, 3-cyclohexyl-*n*-propyl, CF₃CH₂CH₂- and N-pyrrolidinyl.

115. (New) The method according to any of Claims 91-93 or 95-97 wherein R² is selected from the group consisting of alkyl, substituted alkyl, alkenyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl and optionally substituted heterocycle.

116. (New) The method according to any of Claims 91-93 or 95-97 wherein R² is selected from the group consisting of:

methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH₂CH(CH₂CH₃)₂, 2-methyl n-butyl, 6-fluoro-n-hexyl, phenyl, benzyl, cyclohexyl, cyclopentyl, cycloheptyl, allyl, iso-but-2-enyl, 3-methylpentyl, -CH₂-cyclopropyl, -CH₂-cyclohexyl, -CH₂CH₂-cyclohexyl, -CH₂-indol-3-yl, p-(phenyl)phenyl, o-fluorophenyl, m-fluorophenyl, p-fluorophenyl, m-methoxyphenyl, p-methoxyphenyl, phenethyl, benzyl m-hydroxybenzyl, p-hydroxybenzyl, p-nitrobenzyl, m-trifluoromethylphenyl, p-(CH₃)₂NCH\2CH₂CH₂O-benzyl, p-(CH₃)₃COC(O)CH₂O-benzyl, p-(HOOCCH₂O)-benzyl, 2-aminopyrid-6-yl, p-(N-morpholino-CH₂CH₂O)-benzyl, $-CH_2CH_2C(O)NH_2, -CH_2-imidazol-4-yl, -CN_2-(3-tetrahydrofuranyl), -CH_2-thiophen-2-yl,\\$ -CH₂(1-methyl)cyclopropyl, -CH₂-thiophen-3\yl, thiophen-3-yl, thiophen-2-yl, -CH₂-C(O)O-t-butyl, -CH₂-C(CH₃)₃, -CH₂CH(CH₂CH₃)₂, 2-methylcyclopentyl, cyclohex-2-enyl, $-CH[CH(CH_3)_2]COOCH_3$, $-CH_2CH_2N(CH_3)_2$, $-CH_2C(CH_3)=CH_2$, -CH₂CH=CHCH₃ (cis and trans), -CH₂OH, -CH(OH)CH₃, -CH(O-t-butyl)CH₃, -CH₂OCH₃, -(CH₂)₄NH-Boc, -(CH₂)₄NH₂, -CH₂-pyridyl, pyridyl, \CH₂-naphthyl, -CH₂-(N-morpholino), p-(N-morpholino-CH₂CH₂O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH₂CH₂SCH₃, thien-2-yl, and thien-3-yl.

117. (New) The method according to any of Claims 91-93 or 95-97 wherein Z' is -CH₂-.

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